

(19) World Intellectual Property Organisation
International Bureau



(43) International Publication Date
25 August 2005 (25.08.2005)

PCT

(10) International Publication Number:
WO 2005/077414 A1

- (51) International Patent Classification⁷: **A61K 39/395**, 9/08, A61P 35/00
- (21) International Application Number: **PCT/EP2005/000797**
- (22) International Filing Date:
27 January 2005 (27.01.2005)
- (25) Filing Language: German
- (26) Publication Language: German
- (30) Priority Data:
60/543,549 12 February 2004 (12.02.2004) US
- (71) Applicant (for all designated States except US): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (72) Inventors; and
(75) Inventors/Applicants (for US only): **MATHEUS, Susanne** [DE/DE]; Realschulstrasse 19, 54347 Neumagen-Dhron (DE). **MAHLER, Hanns-Christian** [DE/DE]; Buschungstrasse 54a, 65205 Wiesbaden (DE).
- (74) Common Representative: **MERCK PATENT GMBH**; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (81) Designated states (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated states (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **HIGHLY CONCENTRATED, LIQUID FORMULATIONS OF ANTI-EGFR ANTIBODIES**

(57) Abstract: The invention relates to processes for the preparation of highly concentrated, liquid formulations comprising at least one anti-EGFR antibody and/or one of its variants and/or fragments, in particular monoclonal antibodies against the EGF receptor, particularly preferably of Mab C225 (cetuximab) and Mab h425 (EMD 72000), by ultrafiltration. The invention furthermore relates to highly concentrated, liquid formulations of anti-EGFR antibodies, in particular of monoclonal antibodies against the EGF receptor, particularly preferably Mab C225 (cetuximab) and Mab h425 (EMD 72000) and/or variants and/or fragments thereof, characterised in that the highly concentrated, liquid formulations have a content of anti-EGFR antibodies of 10 – 250, preferably 50 – 180 mg/ml, particularly preferably of 100 – 150 mg/ml, and to the use thereof.